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[Continued on next page]

(54) Title: INHIBITORS OF CORONAVIRUS

1 MFIFLLFLTLTSGSDLDRCTTFDDVQAFNYTQHTSSMRGVYYPDEIFRSD 51 TLYLTQDLFLPFYSNVTGFHTINHTPGNPVIPFKDGIYFAATEKSNVVRG

101 WVFGSTMNNKSQSVIIINNSTNVVIRACNFELCDNPFFAVSKPMGTQTHT

151 MIFDNAFNCTFEYISDAFSLDVSEKSGNFKHLREFVFKNKDGFLYVYKGY

201 QPIDVVRDLPSGFNTLKPIFKLPLGINITNFRAILTAFSPAQDIWGTSAA

251 AYFVGYLKPTTFMLKYDENGTITDAVDCSONPLAELKCSVKSFEIDKGIY

301 QTSNFRVVPSGDVVRFPNITNLCPFGEVFNATKPPSVYAWERKKISNCVA

351 DYSVLYNSTFFSTFKCYGVSATKLNDLCFSNVYADSFVVKGDDVRQIAPG

401 OTGVIADYNYKLPDDFMGCVLAWNTRNIDATSTGNYNYKYRYLRHGKLRP

451 FERDISNVPFSPDGKPCTPPALNCYWPLNDYGFYTTTGIGYQPYRVVVLS

501 FELLNAPATVCGPKLSTDLIKNQCVNFNFNGLTGTGVLTPSSKRFQPFQQ

551 FGRDVSDFTDSVRDPKTSEILDISPCAFGGVSVITPGTNASSEVAVLYQD

601 VNCTDVSTAIHADQLTPAWRIYSTGNNVFQTQAGCLIGAEHVDTSYECDI

651 PIGAGICASYHTVSLLRSTSQKSIVAYTMSLGADSSIAYSNNTIAIPTNF 701 SISITTEVMPVSMAKTSVDCNMYICGDSTECANLLLQYGSFCTQLNRALS

751 GIAABQDRNTREVFAQVKQMYKTPTLKYFGGFNFSQILPDPLKPTKRSFI

801 EDLLFNKVTLADAGFMKOYGECLGDINARDLICAOKFNGLTVLPPLLTDD

851 MIAAYTAALVSGTATAGWTFGAGAALQIPFAMQMAYRFNGIGVTQNVLY $\underline{\mathbf{x}}$

901 NOKQIANQFNKAISQIQESLTTTSTALGKLQDVVNQNAQALNTLVKQLSS

951 NFGAISSVLNDILSRLDKVEAEVQIDRLITGRLQSLQTYVTQQLIRAAEI

1001 RASANLAATKMSECVLGQSKRVDFCGKGYHLMSFPQAAPHGVVFLHVTYV

1051 PSQERNFTTAPAICHEGKAYFPREGVFVFNGTSWFITQRNFFSPQIITTD

1101 NTFVSGNCDVVIGIINNTVYDPLQPELDSFKEELDKYFKNHTSPDVDLGD

1151 ISGINASVVNIQKEIDRLMEVAKNLMESLIDLQELGKYEQYIKWPWYVWL

1201 GFIAGLIAIVMVTILLCCMTSCCSCLKGACSCGSCCKFDEDDSEPVLKGV

1251 KLHYT



The present invention relates (57) Abstract: to inhibitors of coronaviruses including severe acute respiratory syndrome (SARS); methods of identifying inhibitors of coronaviruses; methods and compositions useful for therapy (treatment, or prevention) of coronavirus infections. coronavirus inhibitors target different regions of the coronavirus Spike protein to inhibit coronavirus replication. In particular embodiments, the inhibitors include Five-Helix proteins, HR2 peptides, HR1 peptides, HR1 chimeric peptides. The present invention also features retro-inverso analogue of the coronavirus inhibitors, coronavirus inhibitors containing one or more tryptophan analogs, and / or one or more lactam bridges.

- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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